

WEST Search History

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DATE: Monday, January 05, 2004

Hide?	Set Name	Query	Hit Count
		<i>DB=PGPB,USPT,USOC,EPAB,JPAB,DWPI; THES=ASSIGNEE; PLUR=YES; OP=ADJ</i>	
<input type="checkbox"/>	L4	L3 and (gene or dna or cdna or nucleic acid)	74
<input type="checkbox"/>	L3	epothilone same cellulosum	89
		<i>DB=USPT,EPAB,JPAB,DWPI; THES=ASSIGNEE; PLUR=YES; OP=ADJ</i>	
<input type="checkbox"/>	L2	epothilone and cellulosum	68
		<i>DB=PGPB,USPT,USOC,EPAB,JPAB,DWPI; THES=ASSIGNEE; PLUR=YES; OP=ADJ</i>	
<input type="checkbox"/>	L1	epothilone and cellulosum	121

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Search Results - Record(s) 1 through 20 of 74 returned.

☐ 1. Document ID: US 20030220379 A1

L4: Entry 1 of 74

File: PGPB

Nov 27, 2003

PGPUB-DOCUMENT-NUMBER: 20030220379

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030220379 A1

TITLE: Fermentative preparation process for and crystal forms of cytostatics

PUBLICATION-DATE: November 27, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Hofmann, Hans	Ettingen		CH	
Mahnke, Marion	Steinen		DE	
Memmert, Klaus	Lorrach		DE	
Petersen, Frank	Weil am Rhein		DE	
Schupp, Thomas	Mohlin		CH	
Kusters, Ernst	Eschbach		DE	
Mutz, Michael	Freiburg		DE	

US-CL-CURRENT: 514/365; 435/118, 435/254.2

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. Data
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☐ 2. Document ID: US 20030219877 A1

L4: Entry 2 of 74

File: PGPB

Nov 27, 2003

PGPUB-DOCUMENT-NUMBER: 20030219877

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030219877 A1

TITLE: Novel epothilone compounds and methods for making the same

PUBLICATION-DATE: November 27, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Tang, Li	Foster City	CA	US	

Metcalf, Brian	Moraga	CA	US
Katz, Leonard	Oakland	CA	US
Ashley, Gary	Alameda	CA	US

US-CL-CURRENT: 435/71.1; 435/170

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw De
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☐ 3. Document ID: US 20030208080 A1

L4: Entry 3 of 74

File: PGPB

Nov 6, 2003

PGPUB-DOCUMENT-NUMBER: 20030208080
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030208080 A1

TITLE: Synthesis of epothilones, intermediates thereto and analogues thereof

PUBLICATION-DATE: November 6, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Danishefsky, Samuel J.	Englewood	NJ	US	
Bertinato, Peter	Old Lyme	CT	US	
Su, Dai-Shi	Ambler	PA	US	
Meng, DongFang	New York	NY	US	
Chou, Ting-Chao	Paramus	NJ	US	
Kamenecka, Ted	New Brunswick	NJ	US	
Sorensen, Erik J.	San Diego	CA	US	
Balog, Aaron	Scotch Plains	NJ	US	
Savin, Kenneth A.	Indianapolis	IN	US	
Kuduk, Scott	Harleysville	PA	US	
Harris, Christina	New York	NY	US	
Zhang, Xiu-Guo	New York	NY	US	
Bertino, Joseph R.	Branford	CT	US	

US-CL-CURRENT: 546/281.7; 548/204, 548/237, 548/311.4, 548/465, 549/266

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw De
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☐ 4. Document ID: US 20030194787 A1

L4: Entry 4 of 74

File: PGPB

Oct 16, 2003

PGPUB-DOCUMENT-NUMBER: 20030194787
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030194787 A1

TITLE: Fermentative preparation process for and crystal forms of cytostatics

PUBLICATION-DATE: October 16, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Hofmann, Hans	Ettingen		CH	
Mahnke, Marion	Steinen		DE	
Memmert, Klaus	Lorrach		DE	
Petersen, Frank	Weil am Rhein		DE	
Schupp, Thomas	Mohlin		CH	
Kusters, Ernst	Eschbach		DE	
Mutz, Michael	Freiburg		DE	

US-CL-CURRENT: 435/118

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw De
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☐ 5. Document ID: US 20030187273 A1

L4: Entry 5 of 74

File: PGPB

Oct 2, 2003

PGPUB-DOCUMENT-NUMBER: 20030187273

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030187273 A1

TITLE: Method for synthesizing epothilones and epothilone analogs

PUBLICATION-DATE: October 2, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
White, James David	Philomath	OR	US	
Carter, Rich Garrett	Oxford	MS	US	
Sundermann, Kurt Frederick	Corvallis	OR	US	

US-CL-CURRENT: 548/204; 548/181

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw De
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☐ 6. Document ID: US 20030180760 A1

L4: Entry 6 of 74

File: PGPB

Sep 25, 2003

PGPUB-DOCUMENT-NUMBER: 20030180760

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030180760 A1

TITLE: Compositions and methods for hydroxylating epothilones

PUBLICATION-DATE: September 25, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Basch, Jonathan David	DeWitt	NY	US	
Chiang, Shu-Jen David	Manlius	NY	US	
Liu, Suo-Win	Manlius	NY	US	
Nayeem, Akbar	Newtown	PA	US	
Sun, Yuhua	East Syracuse	NY	US	
You, Li	Jamesville	NY	US	

US-CL-CURRENT: [435/6](#); [435/118](#), [435/191](#), [435/252.3](#), [435/320.1](#), [435/69.1](#), [536/23.2](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWOC	Draw D
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☐ 7. Document ID: US 20030176473 A1

L4: Entry 7 of 74

File: PGPB

Sep 18, 2003

PGPUB-DOCUMENT-NUMBER: 20030176473

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030176473 A1

TITLE: Derivatives of epothilone B and D and synthesis thereof

PUBLICATION-DATE: September 18, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Taylor, Richard E.	South Bend	IN	US	
Chen, Yue	Mishawaka	IN	US	

US-CL-CURRENT: [514/365](#); [548/181](#), [548/204](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWOC	Draw D
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☐ 8. Document ID: US 20030176368 A1

L4: Entry 8 of 74

File: PGPB

Sep 18, 2003

PGPUB-DOCUMENT-NUMBER: 20030176368

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030176368 A1

TITLE: Synthesis of epothilones, intermediates thereto and analogues thereof

PUBLICATION-DATE: September 18, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Danishefsky, Samuel J.	Englewood	NJ	US	
Biswas, Kaustav	Thousand Oaks	NY	US	

Chappell, Mark	Noblesville	IN	US
Lin, Hong	New York	NY	US
Njardarson, Jon T.	New York	NY	US
Lee, Chul Bom	Princeton	NJ	US
Rivkin, Alexy	New York	NY	US
Chou, Ting-Chao	Paramus	NJ	US

US-CL-CURRENT: 514/28; 514/183, 514/365, 514/431, 514/450, 536/7.4, 540/451,
548/203, 549/266, 549/9

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWC	Draw. De
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☐ 9. Document ID: US 20030153615 A1

L4: Entry 9 of 74

File: PGPB

Aug 14, 2003

PGPUB-DOCUMENT-NUMBER: 20030153615
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030153615 A1

TITLE: Novel compositions and uses of dictyostatin compounds

PUBLICATION-DATE: August 14, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Wright, Amy E.	Ft. Pierce	FL	US	
Cummins, Jennifer L.	Hackettstown	NJ	US	
Pomponi, Shirley A.	Ft. Pierce	FL	US	
Longley, Ross E.	Vero Beach	FL	US	
Isbrucker, Richard A.	Toronto		CA	

US-CL-CURRENT: 514/450

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWC	Draw. De
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☐ 10. Document ID: US 20030143666 A1

L4: Entry 10 of 74

File: PGPB

Jul 31, 2003

PGPUB-DOCUMENT-NUMBER: 20030143666
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030143666 A1

TITLE: Genetic locus for everninomicin biosynthesis

PUBLICATION-DATE: July 31, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
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Staffa, Alfredo	St-Leonard	CA
Zazopoulos, Emmanuel	Outremont	CA
Mercure, Stephane	Verdun	CA
Nowacki, Piotr Peter	Montreal	CA
Farnet, Chris M.	Outremont	CA

US-CL-CURRENT: [435/69.1](#); [435/193](#), [435/252.3](#), [435/320.1](#), [435/76](#), [536/23.2](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 11. Document ID: US 20030113715 A1

L4: Entry 11 of 74

File: PGPB

Jun 19, 2003

PGPUB-DOCUMENT-NUMBER: 20030113715
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030113715 A1

TITLE: Method for cloning polyketide synthase genes

PUBLICATION-DATE: June 19, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Santi, Daniel	San Francisco	CA	US	

US-CL-CURRENT: [435/6](#); [435/455](#), [435/474](#), [435/91.2](#), [536/24.3](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 12. Document ID: US 20030105330 A1

L4: Entry 12 of 74

File: PGPB

Jun 5, 2003

PGPUB-DOCUMENT-NUMBER: 20030105330
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030105330 A1

TITLE: Synthesis of epothilones, intermediates thereto and analogues thereof

PUBLICATION-DATE: June 5, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Danishefsky, Samuel J.	Englewood	NJ	US	
Bertinato, Peter	Old Lyme	CT	US	
Su, Dai-Shi	New York	NY	US	
Meng, Dongfang	New York	NY	US	
Chou, Ting-Chao	Paramus	NJ	US	

Kamenecka, Ted	New York	NY	US
Sorensen, Erik J.	San Diego	CA	US
Balog, Aaron	New York	NY	US
Savin, Kenneth A.	New York	NY	US
Kuduk, Scott	Harleysville	PA	US
Harris, Christina	New York	NY	US
Zhang, Xiu-Guo	New York	NY	US
Bertino, Joseph R.	Branford	CT	US

US-CL-CURRENT: [546/281.7](#); [548/203](#), [548/236](#), [548/311.1](#), [548/465](#), [549/266](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw D
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☐ 13. Document ID: US 20030096381 A1

L4: Entry 13 of 74

File: PGPB

May 22, 2003

PGPUB-DOCUMENT-NUMBER: 20030096381

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030096381 A1

TITLE: Production of polyketides

PUBLICATION-DATE: May 22, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Julien, Bryan	Oakland	CA	US	
Katz, Leonard	Hayward	CA	US	
Khosla, Chaitan	Palo Alto	CA	US	

US-CL-CURRENT: [435/118](#); [435/193](#), [435/252.3](#), [435/320.1](#), [435/69.1](#), [536/23.2](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw D
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☐ 14. Document ID: US 20030073205 A1

L4: Entry 14 of 74

File: PGPB

Apr 17, 2003

PGPUB-DOCUMENT-NUMBER: 20030073205

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030073205 A1

TITLE: Production of polyketides

PUBLICATION-DATE: April 17, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
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Arslanian, Robert L.	Pacifica	CA	US
Ashley, Gary	Alameda	CA	US
Frykman, Scott	Hayward	CA	US
Julien, Bryan	Oakland	CA	US
Katz, Leonard	Oakland	CA	US
Khosla, Chaitan	Palo Alto	CA	US
Lau, Janice	San Mateo	CA	US
Licari, Peter J.	Fremont	CA	US
Regentin, Rika	Hayward	CA	US
Santi, Daniel	San Francisco	CA	US
Tang, Li	Foster City	CA	US

US-CL-CURRENT: 435/117; 435/123, 435/252.3, 544/333, 546/148, 546/167, 546/281.7,
548/204

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw De
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☐ 15. Document ID: US 20030069277 A1

L4: Entry 15 of 74

File: PGPB

Apr 10, 2003

PGPUB-DOCUMENT-NUMBER: 20030069277

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030069277 A1

TITLE: Synthesis of epothilones, intermediates thereto and analogues thereof

PUBLICATION-DATE: April 10, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Danishefsky, Samuel J.	Englewood	NJ	US	
Bertinato, Peter	Old Lyme	CT	US	
Su, Dai-Shi	Ambler	PA	US	
Meng, Dongfang	New York	NY	US	
Chou, Ting-Chao	Paramus	NJ	US	
Kamenecka, Ted	New Brunswick	NJ	US	
Sorensen, Erik J.	San Diego	CA	US	
Balog, Aaron	New York	NY	US	
Savin, Kenneth A.	Indianapolis	IN	US	
Kuduk, Scott	Harleysville	PA	US	
Harris, Christina	New York	NY	US	
Zhang, Xiu-Guo	New York	NY	US	
Bertino, Joseph R.	Branford	CT	US	

US-CL-CURRENT: 514/336; 514/365, 514/397, 514/414, 514/450, 546/281.7, 548/204,
548/236, 548/311.1, 548/465, 549/270

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw De
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☐ 16. Document ID: US 20030068788 A1

L4: Entry 16 of 74

File: PGPB

Apr 10, 2003

PGPUB-DOCUMENT-NUMBER: 20030068788
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030068788 A1

TITLE: Methods and compositions for making emamectin

PUBLICATION-DATE: April 10, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Buckel, Thomas Gunther	Freiburg	NC	DE	
Hammer, Philip Eugene	Cary	NC	US	
Hill, Dwight Steven	Cary	NC	US	
Ligon, James Madison	Apex	NC	US	
Durham, Istvan Molnar	Durham	NC	US	
Pachlatko, Johannes Paul	Seltisberg		CH	
Zirkle, Ross Eric	Raleigh		US	

US-CL-CURRENT: 435/76; 435/190, 435/191, 435/252.3, 435/320.1, 435/69.1, 514/29, 536/23.2

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 17. Document ID: US 20030054547 A1

L4: Entry 17 of 74

File: PGPB

Mar 20, 2003

PGPUB-DOCUMENT-NUMBER: 20030054547
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030054547 A1

TITLE: Polyketide synthase gene from sorangium cellulosum

PUBLICATION-DATE: March 20, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Julien, Bryan	Oakland	CA	US	

US-CL-CURRENT: 435/320.1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 18. Document ID: US 20030054353 A1

L4: Entry 18 of 74

File: PGPB

Mar 20, 2003

PGPUB-DOCUMENT-NUMBER: 20030054353
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030054353 A1

TITLE: High throughput method for discovery of gene clusters

PUBLICATION-DATE: March 20, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Farnet, Chris M.	Outremont		CA	
Zazopoulos, Emmanuel	Outremont		CA	
Staffa, Alfredo	St-Leonard		CA	

US-CL-CURRENT: 435/6; 702/20

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Draw D
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☐ 19. Document ID: US 20030045711 A1

L4: Entry 19 of 74

File: PGPB

Mar 6, 2003

PGPUB-DOCUMENT-NUMBER: 20030045711
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030045711 A1

TITLE: Epothilone derivatives and methods for making and using the same

PUBLICATION-DATE: March 6, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Ashley, Gary	Alameda	CA	US	
Arslanian, Robert L.	Pacifica	CA	US	
Carney, John	San Bruno	CA	US	
Metcalf, Brian	Moraga	CA	US	
Tang, Li	Foster City	CA	US	

US-CL-CURRENT: 540/462; 549/269

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Draw D
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☐ 20. Document ID: US 20030023082 A1

L4: Entry 20 of 74

File: PGPB

Jan 30, 2003

PGPUB-DOCUMENT-NUMBER: 20030023082
PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20030023082 A1

TITLE: Epothilone derivatives and methods for making and using the same

PUBLICATION-DATE: January 30, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Ashley, Gary	Alameda	CA	US	
Metcalf, Brian	Moraga	CA	US	

US-CL-CURRENT: [540/461](#); [544/278](#), [546/115](#), [548/207](#), [548/242](#), [548/360.5](#)

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Draw D
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Clear	Generate Collection	Print	Fwd Refs	Bkwd Refs	Generate OACS
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Terms	Documents
L3 and (gene or dna or cdna or nucleic acid)	74

Display Format: [Previous Page](#)[Next Page](#)[Go to Doc#](#)

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[Generate OACS](#)

Search Results - Record(s) 21 through 40 of 74 returned.

☐ 21. Document ID: US 20020198256 A1

L4: Entry 21 of 74

File: PGPB

Dec 26, 2002

PGPUB-DOCUMENT-NUMBER: 20020198256
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020198256 A1

TITLE: Laulimalide microtubule stabilizing agents

PUBLICATION-DATE: December 26, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Mooberry, Susan L.	San Antonio	TX	US	
Davidson, Bradley S.	River Heights	UT	US	

US-CL-CURRENT: 514/450

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWC	Draw. De
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☐ 22. Document ID: US 20020193423 A1

L4: Entry 22 of 74

File: PGPB

Dec 19, 2002

PGPUB-DOCUMENT-NUMBER: 20020193423
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020193423 A1

TITLE: Bioactive compound

PUBLICATION-DATE: December 19, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Northcote, Peter T.	Wellington		NZ	
Miller, John H.	Wellington		NZ	
Hood, Kylie A.	Wellington		NZ	
West, Lyndon M.	Wellington		NZ	

US-CL-CURRENT: 514/450; 549/267

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 23. Document ID: US 20020193361 A1

L4: Entry 23 of 74

File: PGPB

Dec 19, 2002

PGPUB-DOCUMENT-NUMBER: 20020193361

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020193361 A1

TITLE: Epothilone derivatives and methods for making and using the same

PUBLICATION-DATE: December 19, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Ashley, Gary	Alameda	CA	US	
Metcalfe, Brian	Moraga	CA	US	

US-CL-CURRENT: 514/183; 514/450, 540/461, 549/269

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 24. Document ID: US 20020192778 A1

L4: Entry 24 of 74

File: PGPB

Dec 19, 2002

PGPUB-DOCUMENT-NUMBER: 20020192778

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020192778 A1

TITLE: Genes for the biosynthesis of epothilones

PUBLICATION-DATE: December 19, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Schupp, Thomas	Mohlin	NC	CH	
Ligon, James Madison	Apex	NC	US	
Molnar, Istvan	Durham	NC	US	
Zirkle, Ross	Raleigh	NC	US	
Cyr, Devon Dawn	Fuquay-Varina	NC	US	
Gorlach, Jorn	Durham		US	

US-CL-CURRENT: 435/183; 435/252.3, 435/320.1, 435/69.1, 536/23.2

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 25. Document ID: US 20020165256 A1

L4: Entry 25 of 74

File: PGPB

Nov 7, 2002

PGPUB-DOCUMENT-NUMBER: 20020165256
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020165256 A1

TITLE: Fermentative preparation process for and crystal forms of cytostatics

PUBLICATION-DATE: November 7, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Hofmann, Hans	Ettingen		CH	
Mahnke, Marion	Steinen		DE	
Memmert, Klaus	Lorrach		DE	
Petersen, Frank	Weil am Rhein		DE	
Schupp, Thomas	Mohlin		CH	
Kusters, Ernst	Eschbach		DE	
Mutz, Michael	Freiburg		DE	

US-CL-CURRENT: 514/365; 435/118, 435/252.3, 514/254.1, 514/58

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 26. Document ID: US 20020164747 A1

L4: Entry 26 of 74

File: PGPB

Nov 7, 2002

PGPUB-DOCUMENT-NUMBER: 20020164747
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020164747 A1

TITLE: Gene cluster for ramoplanin biosynthesis

PUBLICATION-DATE: November 7, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Farnet, Chris M.	Outremont		CA	
Zazopoulos, Emmanuel	Outremont		CA	
Staffa, Alfredo	St-Leonard		CA	

US-CL-CURRENT: 435/193; 435/320.1, 435/325, 435/69.1, 536/23.2

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 27. Document ID: US 20020156110 A1

L4: Entry 27 of 74

File: PGPB

Oct 24, 2002

PGPUB-DOCUMENT-NUMBER: 20020156110
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020156110 A1

TITLE: Epothilone compounds and methods for making and using the same

PUBLICATION-DATE: October 24, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Arslanian, Robert L.	Pacifica	CA	US	
Carney, John R.	San Bruno	CA	US	
Metcalf, Brian	Moraga	CA	US	

US-CL-CURRENT: 514/365; 548/204

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	RWC	Draw. D
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☐ 28. Document ID: US 20020137152 A1

L4: Entry 28 of 74

File: PGPB

Sep 26, 2002

PGPUB-DOCUMENT-NUMBER: 20020137152
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020137152 A1

TITLE: Fermentation process for epothilones

PUBLICATION-DATE: September 26, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Santi, Daniel	San Francisco	CA	US	
Metcalf, Brian	Moraga	CA	US	
Ashley, Gary	Alameda	CA	US	

US-CL-CURRENT: 435/118; 435/184, 435/252.3

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	RWC	Draw. D
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☐ 29. Document ID: US 20020128213 A1

L4: Entry 29 of 74

File: PGPB

Sep 12, 2002

PGPUB-DOCUMENT-NUMBER: 20020128213
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020128213 A1

TITLE: Sixteen-membered macrolide compounds

PUBLICATION-DATE: September 12, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Katz, Leonard	Oakland	CA	US	
Ashley, Gary	Alameda	CA	US	
Burlingame, Mark A.	San Francisco	CA	US	
Dong, Steven D.	San Francisco	CA	US	
Fu, Hong	Union City	CA	US	
Li, Yong	Palo Alto	CA	US	
Myles, David C.	Kensington	CA	US	

US-CL-CURRENT: 514/30; 536/7.1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Draw. De
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☐ 30. Document ID: US 20020123100 A1

L4: Entry 30 of 74

File: PGPB

Sep 5, 2002

PGPUB-DOCUMENT-NUMBER: 20020123100

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020123100 A1

TITLE: Binary BAC vector and uses thereof

PUBLICATION-DATE: September 5, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Hanson, Maureen R.	Ithaca	NY	US	
Hamilton, Carol	Apax	NC	US	

US-CL-CURRENT: 435/69.1; 435/252.33, 435/471, 435/488

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KMC	Draw. De
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☐ 31. Document ID: US 20020111317 A1

L4: Entry 31 of 74

File: PGPB

Aug 15, 2002

PGPUB-DOCUMENT-NUMBER: 20020111317

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020111317 A1

TITLE: Sixteen-membered macrolide compounds

PUBLICATION-DATE: August 15, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Katz, Leonard	Oakland	CA	US	
Ashley, Gary	Alameda	CA	US	

US-CL-CURRENT: 514/28; 536/7.1, 536/7.4

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	MMIC	Draw. Data
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☐ 32. Document ID: US 20020062030 A1

L4: Entry 32 of 74

File: PGPB

May 23, 2002

PGPUB-DOCUMENT-NUMBER: 20020062030

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020062030 A1

TITLE: Method for synthesizing epothilones and epothilone analogs

PUBLICATION-DATE: May 23, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
White, James David	Philomath	OR	US	
Carter, Rich Garrett	Oxford	MS	US	
Sundermann, Kurt Frederick	Corvallis	OR	US	

US-CL-CURRENT: 548/204

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	MMIC	Draw. Data
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☐ 33. Document ID: US 20020058817 A1

L4: Entry 33 of 74

File: PGPB

May 16, 2002

PGPUB-DOCUMENT-NUMBER: 20020058817

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020058817 A1

TITLE: Synthesis of epothilones, intermediates thereto and analogues thereof

PUBLICATION-DATE: May 16, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Danishefsky, Samuel J.	Englewood	NJ	US	
Stachel, Shawn J.	Perkasie	PA	US	
Lee, Chul Bom	Princeton	NJ	US	
Chappell, Mark D.	Noblesville	IN	US	
Wu, Zhicai	New York	NY	US	

US-CL-CURRENT: 546/281.7; 540/451, 548/194, 548/236, 548/311.1, 548/466, 549/266

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	RWC	Draw. De
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☐ 34. Document ID: US 20020058804 A1

L4: Entry 34 of 74

File: PGPB

May 16, 2002

PGPUB-DOCUMENT-NUMBER: 20020058804

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020058804 A1

TITLE: Prodrug activation using catalytic antibodies

PUBLICATION-DATE: May 16, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Barbas, Carlos F. III	Solana Beach	CA	US	
Shabat, Doron	Tel Aviv	CA	IL	
Rader, Christoph	San Diego	CA	US	
List, Benjamin	San Diego	CA	US	
Lerner, Richard A.	La Jolla		US	

US-CL-CURRENT: 536/53; 546/330, 546/335, 548/567, 560/157, 560/24

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	RWC	Draw. De
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☐ 35. Document ID: US 20020058286 A1

L4: Entry 35 of 74

File: PGPB

May 16, 2002

PGPUB-DOCUMENT-NUMBER: 20020058286

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020058286 A1

TITLE: Synthesis of epothilones, intermediates thereto and analogues thereof

PUBLICATION-DATE: May 16, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Danishefsky, Samuel J.	Englewood	NJ	US	
Stachel, Shawn J.	Perkasi	PA	US	
Lee, Chul Bom	Princeton	NJ	US	
Chappell, Mark D.	Noblesville	IN	US	
Chou, Ting-Chao	Paramus	NJ	US	
Wu, Zhicai	New York	NY	US	

US-CL-CURRENT: 435/7.1; 534/10, 536/17.4, 540/451, 549/266

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 36. Document ID: US 20020051998 A1

L4: Entry 36 of 74

File: PGPB

May 2, 2002

PGPUB-DOCUMENT-NUMBER: 20020051998
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020051998 A1

TITLE: Directed evolution of biosynthetic and biodegradation pathways

PUBLICATION-DATE: May 2, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Schmidt-Dannert, Claudia	Shoreview	MN	US	
Arnold, Frances H.	Pasadena	CA	US	

US-CL-CURRENT: 435/7.1; 435/325, 435/410, 435/67

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 37. Document ID: US 20020045609 A1

L4: Entry 37 of 74

File: PGPB

Apr 18, 2002

PGPUB-DOCUMENT-NUMBER: 20020045609
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020045609 A1

TITLE: Epothilone derivatives and methods for making and using the same

PUBLICATION-DATE: April 18, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Ashley, Gary	Alameda	CA	US	
Fardis, Maria	San Carlos	CA	US	
Santi, Daniel	San Francisco	CA	US	

US-CL-CURRENT: 514/183; 514/450, 540/451, 549/270

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 38. Document ID: US 20020028839 A1

L4: Entry 38 of 74

File: PGPB

Mar 7, 2002

PGPUB-DOCUMENT-NUMBER: 20020028839
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020028839 A1

TITLE: Cancer treatment with epothilones

PUBLICATION-DATE: March 7, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
O'Reilly, Terence	Basel	NJ	CH	
Wartmann, Markus	Riehen	NJ	CH	
Litchman, Manuel	Teaneck		US	
Cohen, Pamela	Tenafly		US	

US-CL-CURRENT: 514/365

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWC	Draw. Ds
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☐ 39. Document ID: US 20010056118 A1

L4: Entry 39 of 74

File: PGPB

Dec 27, 2001

PGPUB-DOCUMENT-NUMBER: 20010056118
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20010056118 A1

TITLE: Novel compositions and uses of dictyostatin compounds

PUBLICATION-DATE: December 27, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Wright, Amy E.	Ft. Pierce	FL	US	
Cummins, Jennifer L.	Hackettstown	NJ	US	
Pomponi, Shirley A.	Ft. Pierce	FL	US	
Longley, Ross E.	Vero Beach	FL	US	
Isbrucker, Richard A.	Toronto		CA	

US-CL-CURRENT: 514/460; 514/548

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWC	Draw. Ds
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☐ 40. Document ID: US 6660758 B1

L4: Entry 40 of 74

File: USPT

Dec 9, 2003

US-PAT-NO: 6660758
DOCUMENT-IDENTIFIER: US 6660758 B1

TITLE: Epothilone analogs

DATE-ISSUED: December 9, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Nicolaou; Kyriacos C.	La Jolla	CA		
He; Yun	San Diego	CA		
Ninkovic; Sacha	San Diego	CA		
Pastor; Joaquin	Madrid			ES
Roschangar; Frank	Durham	NC		
Sarabia; Francisco	Torre De Benagalbon			ES
Vallberg; Hans	Huddinge			SE
Vourloumis; Dionisios	Apex	NC		
Winssinger; Nicolas	La Jolla	CA		
Yang; Zhen	Brookline	MA		
King; Nigel Paul	Camborne			GB
Finlay; M. Ray	Killinchy			GB

US-CL-CURRENT: 514/374; 548/235

ABSTRACT:

Epothilone A, epothilone B, analogs of epothilone and libraries of epothilone analogs are synthesized. Epothilone A and B are known anticancer agents that derive their anticancer activity by the prevention of mitosis through the induction and stabilization of microtubule assembly. The analogs of epothilone are novel. Several of the analogs are demonstrated to have a superior cytotoxic activity as compared to epothilone A or epothilone B as demonstrated by their enhanced ability to induce the polymerization and stabilization of microtubules.

13 Claims, 101 Drawing figures

Exemplary Claim Number: 1

Number of Drawing Sheets: 101

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	RMK	Draw
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Terms	Documents
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☐ 21. Document ID: US 20020198256 A1

L4: Entry 21 of 74

File: PGPB

Dec 26, 2002

PGPUB-DOCUMENT-NUMBER: 20020198256

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020198256 A1

TITLE: Laulimalide microtubule stabilizing agents

PUBLICATION-DATE: December 26, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Mooberry, Susan L.	San Antonio	TX	US	
Davidson, Bradley S.	River Heights	UT	US	

US-CL-CURRENT: 514/450

Full	Title	Citation	Front	Review	Classification	Date	Reference	Sequences	Attachments	Claims	KWIC	Draw. De
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☐ 22. Document ID: US 20020193423 A1

L4: Entry 22 of 74

File: PGPB

Dec 19, 2002

PGPUB-DOCUMENT-NUMBER: 20020193423

PGPUB-FILING-TYPE: new

DOCUMENT-IDENTIFIER: US 20020193423 A1

TITLE: Bioactive compound

PUBLICATION-DATE: December 19, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Northcote, Peter T.	Wellington		NZ	
Miller, John H.	Wellington		NZ	
Hood, Kylie A.	Wellington		NZ	
West, Lyndon M.	Wellington		NZ	

US-CL-CURRENT: 514/450; 549/267

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☐ 41. Document ID: US 6656711 B2

L4: Entry 41 of 74

File: USPT

Dec 2, 2003

US-PAT-NO: 6656711

DOCUMENT-IDENTIFIER: US 6656711 B2

TITLE: Fermentative preparation process for and crystal forms of cytostatics

DATE-ISSUED: December 2, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Hofmann; Hans	Ettingen			CH
Mahnke; Marion	Steinen			DE
Memmert; Klaus	Lorrach			DE
Petersen; Frank	Weil am Rhein			DE
Schupp; Thomas	Mohlin			CH
Kusters; Ernst	Eschbach			DE
Mutz; Michael	Freiburg			DE

US-CL-CURRENT: 435/118; 514/365, 540/462, 546/340, 548/181, 548/204, 548/510,
548/567

ABSTRACT:

The invention relates to a new process for concentrating epothilones in culture media, a new process for the production of epothilones, a new process for separating epothilones A and B and a new strain obtained by mutagenesis for the production of epothilones, as well as aspects related thereto. New crystal forms of epothilone B are also described.

6 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	Keywords	Drawings
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☐ 42. Document ID: US 6635666 B2

L4: Entry 42 of 74

File: USPT

Oct 21, 2003

US-PAT-NO: 6635666

DOCUMENT-IDENTIFIER: US 6635666 B2

TITLE: Cancer treatment with epothilones

DATE-ISSUED: October 21, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
O'Reilly; Terence	Basel			CH
Wartmann; Markus	Riehen			CH
Litchman; Manuel	Teaneck	NJ		
Cohen; Pamela	Tenafly	NJ		

US-CL-CURRENT: 514/365

ABSTRACT:

The invention relates to the treatment of a proliferative disease, especially according to certain treatment regimens, with an epothilone, especially with epothilone A and more preferably epothilone B; as well as to the treatment of certain cancers with such an epothilone.

19 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference			Claims	KWIC	Draw. Dg
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☐ 43. Document ID: US 6603023 B2

L4: Entry 43 of 74

File: USPT

Aug 5, 2003

US-PAT-NO: 6603023

DOCUMENT-IDENTIFIER: US 6603023 B2

TITLE: Synthesis of epothilones, intermediates thereto and analogues thereof

DATE-ISSUED: August 5, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Danishefsky; Samuel J.	Englewood	NJ		
Bertinato; Peter	Old Lyme	CT		
Su; Dai-Shi	Ambler	PA		
Meng; DongFang	New York	NY		
Chou; Ting-Chao	Paramus	NJ		
Kamenecka; Ted	New Brunswick	NJ		
Sorensen; Erik J.	San Diego	CA		
Balog; Aaron	New York	NY		
Savin; Kenneth A.	Indianapolis	IN		

Kuduk; Scott	Harleysville	PA
Harris; Christina	New York	NY
Zhang; Xiu-Guo	New York	NY
Bertino; Joseph R.	Branford	CT

US-CL-CURRENT: 549/346

ABSTRACT:

The present invention provides convergent processes for preparing epothilone A and B, desoxyepothilones A and B, and analogues thereof, useful in the treatment of cancer and cancer which has developed a multidrug-resistant phenotype. Also provided are intermediates useful for preparing said epothilones.

23 Claims, 117 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 102

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	KNOW	Draw De
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☐ 44. Document ID: US 6596875 B2

L4: Entry 44 of 74

File: USPT

Jul 22, 2003

US-PAT-NO: 6596875

DOCUMENT-IDENTIFIER: US 6596875 B2

TITLE: Method for synthesizing epothilones and epothilone analogs

DATE-ISSUED: July 22, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
White; James David	Philomath	OR	97370	
Carter; Rich Garrett	Oxford	MS	38655	
Sundermann; Kurt Frederick	Corvallis	OR	97339	

US-CL-CURRENT: 548/204

ABSTRACT:

A method for making epothilones and epothilone analogs is described, as are novel compounds made by the method. One embodiment of the method was used to synthesize epothilone B by a convergent approach that entailed Wittig coupling of an ylide derived from phosphonium bromide with an aldehyde. The former was prepared from propargyl alcohol by a nine-step pathway which installed both trisubstituted double bonds with clean Z configuration. Macrolactonization of a resulting seco acid provided the following intermediate diene epothilone analog. Selective saturation of the 9,10-olefin and subsequent epoxidation provided epothilone B. ##STR1##

30 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference			Claims	KWMC	Draw. De
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☐ 45. Document ID: US 6589968 B2

L4: Entry 45 of 74

File: USPT

Jul 8, 2003

US-PAT-NO: 6589968

DOCUMENT-IDENTIFIER: US 6589968 B2

TITLE: Epothilone compounds and methods for making and using the same

DATE-ISSUED: July 8, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Arslanian; Robert L.	Pacifica	CA		
Carney; John R.	San Bruno	CA		
Metcalf; Brian	Moraga	CA		

US-CL-CURRENT: 514/365; 548/204

ABSTRACT:

This present invention relates to compounds of formula (I) ##STR1##

and to pharmaceutically acceptable salts and solvates thereof, wherein R.sup.1, R.sup.2, R.sup.3, R.sup.4, R.sup.5, W, X, Y, and Ar are as defined herein. Compounds of formula (I) are useful in the treatment of diseases or conditions characterized by cellular hyperproliferation. This invention also relates to means for the preparation of compounds of formula (I); formulations containing compounds of formula (I); and methods for the use of said compounds and formulations in the treatment of a disease or condition characterized by cellular hyperproliferation, including cancer.

8 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference			Claims	KWMC	Draw. De
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☐ 46. Document ID: US 6583290 B1

L4: Entry 46 of 74

File: USPT

Jun 24, 2003

US-PAT-NO: 6583290

DOCUMENT-IDENTIFIER: US 6583290 B1

TITLE: 14-methyl epothilone derivatives

DATE-ISSUED: June 24, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Julien; Bryan	Oakland	CA		
Katz; Leonard	Hayward	CA		
Khosla; Chaitan	Palo Alto	CA		
Tang; Li	Foster City	CA		
Ziermann; Rainer	San Mateo	CA		

US-CL-CURRENT: 548/203; 181/205, 546/268.1

ABSTRACT:

Compounds of the invention include 14-mehtyl epothilone derivatives. More generally, preferred compounds of the invention are those that can be produced by altering the epothilone PKS genes as described herein and optionally by action of epothilone modification enzymes and/or by chemically modifying the resulting epothilones produces when those genes are expressed.

2 Claims, 9 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 8

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	ROME	Draw. De
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☐ 47. Document ID: US 6576658 B2

L4: Entry 47 of 74

File: USPT

Jun 10, 2003

US-PAT-NO: 6576658

DOCUMENT-IDENTIFIER: US 6576658 B2

TITLE: Compositions and uses of dictyostatin compounds

DATE-ISSUED: June 10, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Wright; Amy E.	Ft. Pierce	FL		
Cummins; Jennifer L.	Hackettstown	NJ		
Pomponi; Shirley A.	Ft. Pierce	FL		
Longley; Ross E.	Vero Beach	FL		
Isbrucker; Richard A.	Toronto			CA

US-CL-CURRENT: 514/450

ABSTRACT:

Dictyostatin-1 has been found to stabilize microtubules and prohibit their depolymerization to free tubulin. Because of these activities, the dictyostatin compounds can be used in the treatment of a number of diseases in which aberrant cellular proliferation occurs such as drug-sensitive and drug-resistant cancers,

autoimmune disorders, and inflammatory diseases.

2 Claims, 3 Drawing figures

Exemplary Claim Number: 1

Number of Drawing Sheets: 7

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	NUMC	Draw. De
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☐ 48. Document ID: US 6489314 B1

L4: Entry 48 of 74

File: USPT

Dec 3, 2002

US-PAT-NO: 6489314

DOCUMENT-IDENTIFIER: US 6489314 B1

TITLE: Epothilone derivatives and methods for making and using the same

DATE-ISSUED: December 3, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Ashley; Gary	Alameda	CA		
Metcalf; Brian	Moraga	CA		

US-CL-CURRENT: 514/183; 540/451, 540/455, 540/461, 540/462, 540/463

ABSTRACT:

The present invention relates to 16-membered macrocyclic compounds. In one aspect of the present invention, compounds of the formula ##STR1##

are provided wherein: R.sup.1, R.sup.2, R.sup.3, and R.sup.5 are each independently hydrogen, C.sub.1 -C.sub.10 alkyl, C.sub.2 -C.sub.10 alkenyl, C.sub.2 -C.sub.10 alkynyl, aryl or alkylaryl; R.sup.4 is hydrogen, halogen, C.sub.1 -C.sub.10 alkyl, C.sub.1 -C.sub.10 hydroxyalkyl, C.sub.1 -C.sub.10 haloalkyl, aryl, --C(.dbd.O)R.sup.6, --C(.dbd.O)OR.sup.6, --NR.sup.6 R.sup.7 where R.sup.6 and R.sup.7 are each independently hydrogen, C.sub.1 -C.sub.10 aliphatic, aryl or alkylaryl; W is O, NR.sup.8 where R.sup.8 is hydrogen, C.sub.1 -C.sub.10 alkyl, C.sub.2 -C.sub.10 alkenyl, C.sub.2 -C.sub.10 alkynyl, aryl or alkylaryl; X is O, CH.sub.2 or a carbon-carbon double bond; Y is absent or a C.sub.1 -C.sub.10 alkyl, C.sub.2 -C.sub.10 alkenyl, or C.sub.2 -C.sub.10 alkynyl; and Ar is aryl; provided that 10,11-dehydroepothilone C is excluded.

27 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	NUMC	Draw. De
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☐ 49. Document ID: US 6441186 B1

L4: Entry 49 of 74

File: USPT

Aug 27, 2002

US-PAT-NO: 6441186
DOCUMENT-IDENTIFIER: US 6441186 B1

TITLE: Epothilone analogs

DATE-ISSUED: August 27, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Nicolaou; Kyriacos C.	La Jolla	CA		
He; Yun	San Diego	CA		
Ninkovic; Sacha	San Diego	CA		
Pastor; Joaquin	San Diego	CA		
Roschangar; Frank	San Diego	CA		
Sarabia; Francisco	Torre de Benagalbon			ES
Vallberg; Hans	Huddinge			SE
Vourloumis; Dionisios	San Diego	CA		
Winssinger; Nicolas	La Jolla	CA		
Yang; Zhen	San Diego	CA		
King; N. Paul	San Diego	CA		
Finlay; M. Ray	San Diego	CA		

US-CL-CURRENT: 548/204

ABSTRACT:

Epothilone A, epothilone B, analogs of epothilone and libraries of epothilone analogs are synthesized. Epothilone A and B are known anticancer agents that derive their anticancer activity by the prevention of mitosis through the induction and stabilization of microtubulin assembly. The analogs of epothilone are novel. Several of the anlogs are demonstrated to have a superior cytotoxic activities as compared to epothilone A or epothilone B as demonstrated by their enhanced ability to induce the polymerization and stabilization of microtubules.

1 Claims, 74 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 74

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	Keywords	Drawings
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☐ 50. Document ID: US 6414015 B1

L4: Entry 50 of 74

File: USPT

Jul 2, 2002

US-PAT-NO: 6414015
DOCUMENT-IDENTIFIER: US 6414015 B1

TITLE: Laulimalide microtubule stabilizing agents

DATE-ISSUED: July 2, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Mooberry; Susan L.	San Antonio	TX		
Davidson; Bradley S.	River Heights	UT		

US-CL-CURRENT: 514/455; 514/451, 514/461, 514/475

ABSTRACT:

A method of inhibiting the proliferation of a hyperproliferative mammalian cell having a multiple drug resistant phenotype utilizing an amount of a laulimalide compound effective to disrupt the dynamic state of microtubule polymerization and depolymerization to arrest cell mitosis is disclosed, together with laulimalide compounds which find use in such method.

4 Claims, 6 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 2

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	KWIC	Draw De
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☐ 51. Document ID: US 6410301 B1

L4: Entry 51 of 74

File: USPT

Jun 25, 2002

US-PAT-NO: 6410301

DOCUMENT-IDENTIFIER: US 6410301 B1

TITLE: Myxococcus host cells for the production of epothilones

DATE-ISSUED: June 25, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Julien; Bryan	Oakland	CA		
Katz; Leonard	Hayward	CA		
Khosla; Chaitan	Palo Alto	CA		

US-CL-CURRENT: 435/252.3

ABSTRACT:

Recombinant Myxococcus host cell containing recombinant expression vectors containing epothilone polyketide synthase genes can produce epothilones C and D.

6 Claims, 4 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 4

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	KWIC	Draw De
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☐ 52. Document ID: US 6383787 B1

L4: Entry 52 of 74

File: USPT

May 7, 2002

US-PAT-NO: 6383787

DOCUMENT-IDENTIFIER: US 6383787 B1

**** See image for Certificate of Correction ****TITLE: Genes for the biosynthesis of epothilones

DATE-ISSUED: May 7, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Schupp; Thomas	Mohlin			CH
Ligon; James Madison	Apex	NC		
Molnar; Istvan	Durham	NC		
Zirkle; Ross	Raleigh	NC		
Cyr; Devon Dawn	Fuquay-Varina	NC		
Gorlach; Jorn	Durham	NC		

US-CL-CURRENT: 435/183; 435/193, 435/252.3, 435/252.35, 435/320.1, 536/23.1,
536/23.2, 536/23.7

ABSTRACT:

Nucleic acid molecules are isolated from Sorangium cellulosum that encode polypeptides necessary for the biosynthesis of epothilone. Disclosed are methods for the production of epothilone in recombinant hosts transformed with the genes of the invention. In this manner, epothilone can be produced in quantities large enough to enable their purification and use in pharmaceutical formulations such as those for the treatment of cancer.

25 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	Keywords	Drawings
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☐ 53. Document ID: US 6380227 B1

L4: Entry 53 of 74

File: USPT

Apr 30, 2002

US-PAT-NO: 6380227

DOCUMENT-IDENTIFIER: US 6380227 B1

TITLE: Fermentative preparation process for and crystal forms of cytostatics

DATE-ISSUED: April 30, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Mutz; Michael	Freiburg			DE

US-CL-CURRENT: 514/365; 435/118, 514/367, 514/450, 546/340, 548/204, 548/510,
548/567

ABSTRACT:

The invention relates to a new process for concentrating epothilones in culture media, a new process for the production of epothilones, a new process for separating epothilones A and B and a new strain obtained by mutagenesis for the production of epothilones, as well as aspects related thereto. New crystal forms of epothilone B are also described.

14 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	KWIC	Draw De
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☐ 54. Document ID: US 6358719 B1

L4: Entry 54 of 74

File: USPT

Mar 19, 2002

US-PAT-NO: 6358719

DOCUMENT-IDENTIFIER: US 6358719 B1

TITLE: Genes for the biosynthesis of epothilones

DATE-ISSUED: March 19, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Schupp; Thomas	Mohlin			CH
Ligon; James Madison	Apex	NC		
Molnar; Istvan	Durham	NC		
Zirkle; Ross	Raleigh	NC		
Cyr; Devon Dawn	Fuquay-Varina	NC		
Gorlach; Jorn	Durham	NC		

US-CL-CURRENT: 435/189; 435/252.3, 435/252.35, 435/320.1, 536/23.1, 536/23.2,
536/23.7

ABSTRACT:

Nucleic acid molecules are isolated from Sorangium cellulosum that encode polypeptides necessary for the biosynthesis of epothilone. Disclosed are methods for the production of epothilone in recombinant hosts transformed with the genes of the invention. In this manner, epothilone can be produced in quantities large enough to enable their purification and use in pharmaceutical formulations such as those for the treatment of cancer.

25 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KWIC	Draw. De
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☐ 55. Document ID: US 6355459 B1

L4: Entry 55 of 74

File: USPT

Mar 12, 2002

US-PAT-NO: 6355459

DOCUMENT-IDENTIFIER: US 6355459 B1

TITLE: Genes for the biosynthesis of epothilones

DATE-ISSUED: March 12, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Schupp; Thomas	Mohlin			CH
Ligon; James Madison	Apex	NC		
Molnar; Istvan	Durham	NC		
Zirkle; Ross	Raleigh	NC		
Cyr; Devon Dawn	Fuquay-Varina	NC		
Gorlach; Jorn	Durham	NC		

US-CL-CURRENT: 435/183; 435/189, 435/193, 435/232, 435/252.3, 435/252.35,
435/320.1, 536/23.2

ABSTRACT:

Nucleic acid molecules are isolated from *Sorangium cellulosum* that encode polypeptides necessary for the biosynthesis of epothilone. Disclosed are methods for the production of epothilone in recombinant hosts transformed with the genes of the invention. In this manner, epothilone can be produced in quantities large enough to enable their purification and use in pharmaceutical formulations such as those for the treatment of cancer.

115 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KWIC	Draw. De
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☐ 56. Document ID: US 6355458 B1

L4: Entry 56 of 74

File: USPT

Mar 12, 2002

US-PAT-NO: 6355458

DOCUMENT-IDENTIFIER: US 6355458 B1

TITLE: Genes for the biosynthesis of epothilones

DATE-ISSUED: March 12, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Schupp; Thomas	Mohlin			CH
Ligon; James Madison	Apex	NC		
Molnar; Istvan	Durham	NC		
Zirkle; Ross	Raleigh	NC		
Cyr; Devon Dawn	Fuquay-Varina	NC		
Gorlach; Jorn	Durham	NC		

US-CL-CURRENT: 435/183; 435/189, 435/193, 435/232, 435/252.3, 435/252.35,
435/320.1, 530/300, 536/23.1, 536/23.2, 536/23.7

ABSTRACT:

Nucleic acid molecules are isolated from Sorangium cellulosum that encode polypeptides necessary for the biosynthesis of epothilone. Disclosed are methods for the production of epothilone in recombinant hosts transformed with the genes of the invention. In this manner, epothilone can be produced in quantities large enough to enable their purification and use in pharmaceutical formulations such as those for the treatment of cancer.

100 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	Keywords	Drawings
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☐ 57. Document ID: US 6355457 B1

L4: Entry 57 of 74

File: USPT

Mar 12, 2002

US-PAT-NO: 6355457

DOCUMENT-IDENTIFIER: US 6355457 B1

TITLE: Genes for the biosynthesis of epothilones

DATE-ISSUED: March 12, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Schupp; Thomas	Mohlin			CH
Ligon; James Madison	Apex	NC		
Molnar; Istvan	Durham	NC		
Zirkle; Ross	Raleigh	NC		
Cyr; Devon Dawn	Fuquay-Varina	NC		
Gorlach; Jorn	Durham	NC		

US-CL-CURRENT: 435/183; 435/189, 435/193, 435/195, 435/196, 435/232, 435/252.3,
435/252.35, 435/320.1, 530/300, 536/23.1, 536/23.2, 536/23.7

ABSTRACT:

Nucleic acid molecules are isolated from Sorangium cellulosum that encode polypeptides necessary for the biosynthesis of epothilone. Disclosed are methods for the production of epothilone in recombinant hosts transformed with the genes of the invention. In this manner, epothilone can be produced in quantities large enough to enable their purification and use in pharmaceutical formulations such as those for the treatment of cancer.

115 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	FIGS	Draw. D
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☐ 58. Document ID: US 6346404 B1

L4: Entry 58 of 74

File: USPT

Feb 12, 2002

US-PAT-NO: 6346404

DOCUMENT-IDENTIFIER: US 6346404 B1

**** See image for Certificate of Correction ****TITLE: Genes for the biosynthesis of epothilones

DATE-ISSUED: February 12, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Schupp; Thomas	Mohlin			CH
Ligon; James Madison	Apex	NC		
Molnar; Istvan	Durham	NC		
Zirkle; Ross	Raleigh	NC		
Cyr; Devon Dawn	Fuquay-Varina	NC		
Gorlach; Jorn	Durham	NC		

US-CL-CURRENT: 435/183; 435/189, 435/193, 435/232, 435/252.3, 435/252.35,
435/320.1, 530/350, 536/23.1, 536/23.2, 536/23.7

ABSTRACT:

Nucleic acid molecules are isolated from Sorangium cellulosum that encode polypeptides necessary for the biosynthesis of epothilone. Disclosed are methods for the production of epothilone in recombinant hosts transformed with the genes of the invention. In this manner, epothilone can be produced in quantities large enough to enable their purification and use in pharmaceutical formulations such as those for the treatment of cancer.

85 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	FIGS	Draw. D
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☐ 59. Document ID: US 6316630 B1

L4: Entry 59 of 74

File: USPT

Nov 13, 2001

US-PAT-NO: 6316630

DOCUMENT-IDENTIFIER: US 6316630 B1

TITLE: Synthesis of epothilones, intermediates thereto and analogues thereof

DATE-ISSUED: November 13, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Danishefsky; Samuel J.	Englewood	NJ		
Bertinato; Peter	Old Lyme	CT		
Su; Dai-Shi	New York	NY		
Meng; DongFang	New York	NY		
Chou; Ting-Chao	Paramus	NJ		
Kamenecka; Ted	New York	NY		
Sorensen; Erik J	San Diego	CA		
Balog; Aaron	New York	NY		
Savin; Kenneth A.	New York	NY		

US-CL-CURRENT: 546/281.7; 546/340, 548/204, 548/510, 549/494, 549/498, 560/174

ABSTRACT:

The present invention provides convergent processes for preparing epothilone A and B, desoxyepothilones A and B, and analogues thereof, useful in the treatment of cancer and cancer which has developed a multidrug-resistant phenotype. Also provided are intermediates useful for preparing said epothilones.

8 Claims, 75 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 102

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	FIGS	Draw. Ds
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☐ 60. Document ID: US 6303342 B1

L4: Entry 60 of 74

File: USPT

Oct 16, 2001

US-PAT-NO: 6303342

DOCUMENT-IDENTIFIER: US 6303342 B1

TITLE: Recombinant methods and materials for producing epothilones C and D

DATE-ISSUED: October 16, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Julien; Bryan	Oakland	CA		
Katz; Leonard	Hayward	CA		
Khosla; Chaitan	Palo Alto	CA		
Tang; Li	Foster City	CA		

US-CL-CURRENT: 435/76

ABSTRACT:

Recombinant nucleic acids that encode all or a portion of the epothilone polyketide synthase (PKS) are used to express recombinant PKS genes in host cells for the production of epothilones, epothilone derivatives, and polyketides that are useful as cancer chemotherapeutics, fungicides, and immunosuppressants.

29 Claims, 9 Drawing figures

Exemplary Claim Number: 1

Number of Drawing Sheets: 8

Full	Title	Citation	Front	Review	Classification	Date	Reference			Claims	KWIC	Draw. De
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Terms	Documents
L3 and (gene or dna or cdna or nucleic acid)	74

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☐ 61. Document ID: US 6302838 B1

L4: Entry 61 of 74

File: USPT

Oct 16, 2001

US-PAT-NO: 6302838

DOCUMENT-IDENTIFIER: US 6302838 B1

**** See image for Certificate of Correction ****

TITLE: Cancer treatment with epothilones

DATE-ISSUED: October 16, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
O'Reilly; Terence	Basel			CH
Wartmann; Markus	Riehen			CH
Litchman; Manuel	Teaneck	NJ		
Cohen; Pamela	Tenafly	NJ		

US-CL-CURRENT: 514/365

ABSTRACT:

The invention relates to the treatment of a proliferative disease, especially according to certain treatment regimens, with an epothilone, especially with epothilone A and more preferably epothilone B; as well as to the treatment of certain cancers with such an epothilone.

24 Claims, 0 Drawing figures

Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	RMK	Draw De
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☐ 62. Document ID: US 6280999 B1

L4: Entry 62 of 74

File: USPT

Aug 28, 2001

US-PAT-NO: 6280999

DOCUMENT-IDENTIFIER: US 6280999 B1

TITLE: Sorangium polyketide synthases and encoding DNA therefor

DATE-ISSUED: August 28, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Gustafsson; Claes	Belmont	CA		
Betlach; Mary C.	San Francisco	CA		
Ashley; Gary	Alameda	CA		
Julien; Bryan	Oakland	CA		
Ziermann; Rainer	San Mateo	CA		

US-CL-CURRENT: 435/252.3; 435/183, 435/320.1, 435/325, 536/23.2

ABSTRACT:

Novel Sorangium polyketide synthases, and domains thereof, and polynucleotides encoding therefor. Additionally, chimeric polyketide synthases that include domains, or subsets of domains, patterned on said novel polyketide synthases. Methods to prepare polyketide combinatorial libraries are described, as are recombinant host cells in which polyketides are produced.

8 Claims, 7 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 21

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	Draw	Draw
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☐ 63. Document ID: US 6268488 B1

L4: Entry 63 of 74

File: USPT

Jul 31, 2001

US-PAT-NO: 6268488

DOCUMENT-IDENTIFIER: US 6268488 B1

TITLE: Prodrug activation using catalytic antibodies

DATE-ISSUED: July 31, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Barbas, III; Carlos F.	Del Mar	CA	92014	
Shabat; Doron	San Diego	CA	92122	
Rader; Christoph	San Diego	CA	92103	
List; Benjamin	San Diego	CA	92102	
Lerner; Richard A.	La Jolla	CA	92037	

US-CL-CURRENT: 536/6.4; 548/204, 549/375, 562/463, 568/448

ABSTRACT:

The present invention provides a compound that includes an active therapeutic agent attached to a blocking moiety that is sensitive to the catalytic action of

molecules having retro-aldol and retro-Michael catalytic activity, methods for making such compounds and methods of converting such compounds to active therapeutic agents using molecules having aldolase activity.

15 Claims, 12 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 12

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KMC	Draw De
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☐ 64. Document ID: US 6204388 B1

L4: Entry 64 of 74

File: USPT

Mar 20, 2001

US-PAT-NO: 6204388
DOCUMENT-IDENTIFIER: US 6204388 B1

TITLE: Synthesis of epothilones, intermediates thereto and analogues thereof

DATE-ISSUED: March 20, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Danishefsky; Samuel J.	Englewood	NJ		
Bertinato; Peter	Old Lyme	CT		
Su; Dai-Shi	New York	NY		
Meng; DongFang	New York	NY		
Chou; Ting-Chao	Paramus	NJ		
Kamenecka; Ted	New York	NY		
Sorensen; Erik J	San Diego	CA		
Balog; Aaron	New York	NY		
Savin; Kenneth A.	New York	NY		
Kuduk; Scott	Harleysville	PA		
Harris; Christina	New York	NY		
Zhang; Xiu-Guo	New York	NY		
Bertino; Joseph R.	Branford	CT		

US-CL-CURRENT: 546/340; 548/204, 548/510, 549/494, 549/498, 560/174

ABSTRACT:

The present invention provides convergent processes for preparing epothilone A and B, desoxyepothilones A and B, and analogues thereof, useful in the treatment of cancer and cancer which has developed a multidrug-resistant phenotype. Also provided are intermediates useful for preparing said epothilones.

9 Claims, 117 Drawing figures
Exemplary Claim Number: 1
Number of Drawing Sheets: 102

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	KWIC	Draw De
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☐ 65. Document ID: US 6194181 B1

L4: Entry 65 of 74

File: USPT

Feb 27, 2001

US-PAT-NO: 6194181

DOCUMENT-IDENTIFIER: US 6194181 B1

TITLE: Fermentative preparation process for and crystal forms of cytostatics

DATE-ISSUED: February 27, 2001

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Hofmann; Hans	Ettingen			CH
Mahnke; Marion	Steinen			DE
Memmert; Klaus	Lorrach			DE
Petersen; Frank	Weil am Rhein			DE
Schupp; Thomas	Mohlin			CH
Kusters; Ernst	Eschbach			DE
Mutz; Michael	Freiburg			DE

US-CL-CURRENT: 435/118

ABSTRACT:

The invention relates to a new process for concentrating epothilones in culture media, a new process for the production of epothilones, a new process for separating epothilones A and B and a new strain obtained by mutagenesis for the production of epothilones, as well as aspects related thereto. New crystal forms of epothilone B are also described.

15 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	KWIC	Draw De
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☐ 66. Document ID: US 6121029 A

L4: Entry 66 of 74

File: USPT

Sep 19, 2000

US-PAT-NO: 6121029

DOCUMENT-IDENTIFIER: US 6121029 A

TITLE: Genes for the biosynthesis of epothilones

DATE-ISSUED: September 19, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Schupp; Thomas	Mohlin			CH
Ligon; James Madison	Apex	NC		
Molnar; Istvan	Durham	NC		
Zirkle; Ross	Raleigh	NC		
Cyr; Devon Dawn	Fuquay-Varina	NC		
Gorlach; Jorn	Durham	NC		

US-CL-CURRENT: 435/183; 435/189, 435/193, 435/232, 435/252.3, 435/252.35,
435/320.1, 530/300, 536/23.1, 536/23.2, 536/23.7

ABSTRACT:

Nucleic acid molecules are isolated from Sorangium cellulosum that encode polypeptides necessary for the biosynthesis of epothilone. Disclosed are methods for the production of epothilone in recombinant hosts transformed with the genes of the invention. In this manner, epothilone can be produced in quantities large enough to enable their purification and use in pharmaceutical formulations such as those for the treatment of cancer.

115 Claims, 0 Drawing figures
Exemplary Claim Number: 1

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	KWIC	Draw De
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☐ 67. Document ID: US 6090601 A

L4: Entry 67 of 74

File: USPT

Jul 18, 2000

US-PAT-NO: 6090601

DOCUMENT-IDENTIFIER: US 6090601 A

TITLE: Sorangium polyketide synthase

DATE-ISSUED: July 18, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Gustafsson; Claes	Belmont	CA		
Betlach; Mary C.	San Francisco	CA		

US-CL-CURRENT: 435/183; 435/252.3, 435/320.1, 435/325, 536/23.2

ABSTRACT:

Domains of epothilone polyketide synthase, and polynucleotides encoding therefor. Additionally, chimeric polyketide synthases that include domains, or subsets of domains, patterned on epothilone polyketide synthase. Methods to prepare epothilone in pharmaceutically useful quantities are described, as are methods to prepare polyketide combinatorial libraries.

24 Claims, 12 Drawing figures
 Exemplary Claim Number: 1
 Number of Drawing Sheets: 13

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	Keywords	Draw. De
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☐ 68. Document ID: WO 22139 A2

L4: Entry 68 of 74

File: EPAB

Apr 20, 2000

PUB-NO: WO000022139A2

DOCUMENT-IDENTIFIER: WO 22139 A2

TITLE: DNA SEQUENCES FOR ENZYMATIC SYNTHESIS OF POLYKETIDE OR HETEROPOLYKETIDE COMPOUNDS

PUBN-DATE: April 20, 2000

INVENTOR-INFORMATION:

NAME	COUNTRY
BEYER, STEFAN	DE
BLOECKER, HELMUT	DE
BRANDT, PETRA	DE
CINO, PAUL M	US
DOUGHERTY, BRIAN A	US
GOLDBERG, STEVEN L	US
HOFLE, GERHARD	DE
MUELLER, ROLF-JOACHIM	DE
REICHENBACH, HANS	DE

INT-CL (IPC): C12 N 15/52; C12 N 9/00; C12 N 15/63; C12 N 5/10; C12 P 17/06

EUR-CL (EPC): C12N015/52; C12P007/62, C12P017/18

ABSTRACT:

CHG DATE=20001128 STATUS=O>The invention consists of: (1) cloned *Sorangium cellulosum* polyketide synthase (PKS) biosynthetic cluster DNA; and (2) the nucleotide sequence and predicted protein coding sequences of the cloned DNA. The invention can be used for, but not limited to: (a) increasing yields of PKS product in *Sorangium cellulosum* (e.g., by amplification or genetic modification of the epothilone gene cluster or its component parts); (b) increasing yields of polyketide product in a heterologous system by transfer of the epothilone gene cluster or its component parts, which may be followed by amplification or genetic modification of the PKS gene cluster or its component parts; (c) modification of the polyketide product chemical structure in either *Sorangium cellulosum* or a heterologous host (e.g., by genetic modification of the epothilone gene cluster or its component parts; and (d) for the detection of genes and gene products involved in making polyketides or related molecules in other organisms (e.g., by hybridization or complementation assays). DNA sequence and analysis is presented for the following cosmids and plasmids: A2 cosmid; the pEPOcos6 region (overlapping of pEPOcos6 and pEPOcos7); pEPOcos8 cosmid; A5 cosmid; Sau4 (10 kb plasmid).

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	KWIC	Draw. De
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☐ 69. Document ID: WO 9966028 A2

L4: Entry 69 of 74

File: EPAB

Dec 23, 1999

PUB-NO: WO009966028A2
DOCUMENT-IDENTIFIER: WO 9966028 A2
TITLE: GENES FOR THE BIOSYNTHESIS OF EPOTHILONES

PUBN-DATE: December 23, 1999

INVENTOR-INFORMATION:

NAME	COUNTRY
SCHUPP, THOMAS	CH
LIGON, JAMES MADISON	US
MOLNAR, ISTVAN	US
ZIRKLE, ROSS	US
GOERLACH, JOERN	US
CYR, DEVON	US

INT-CL (IPC): C12 N 9/00
EUR-CL (EPC): C07K014/195; C12P017/18

ABSTRACT:

CHG DATE=20000202 STATUS=O>Nucleic acid molecules are isolated from *Sorangium cellulosum* that encode polypeptides necessary for the biosynthesis of epothilone. Disclosed are methods for the production of epothilone in recombinant hosts transformed with the genes of the invention. In this manner, epothilone can be produced in quantities large enough to enable their purification and use in pharmaceutical formulations such as those for the treatment of cancer.

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	KWIC	Draw. De
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☐ 70. Document ID: EP 1303615 A2, WO 200208440 A2, AU 200179025 A, US 20020137152 A1

L4: Entry 70 of 74

File: DWPI

Apr 23, 2003

DERWENT-ACC-NO: 2002-241574
DERWENT-WEEK: 200329
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TITLE: Production of desoxyepothilone such as epothilone C and/or D as antifungal, anticancer and immunosuppressant, comprises fermenting epothilone producing microorganism in presence of inhibitor of epothilone epoxidase

INVENTOR: ASHLEY, G; METCALF, B ; SANTI, D

PRIORITY-DATA: 2000US-220651P (July 25, 2000), 2001US-0916045 (July 25, 2001)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
<u>EP 1303615 A2</u>	April 23, 2003	E	000	C12N015/53
<u>WO 200208440 A2</u>	January 31, 2002	E	042	C12P017/00
<u>AU 200179025 A</u>	February 5, 2002		000	C12P017/00
<u>US 20020137152 A1</u>	September 26, 2002		000	C12P017/16

INT-CL (IPC): C12 N 1/21; C12 N 9/02; C12 N 9/99; C12 N 15/53; C12 P 17/00; C12 P 17/16

ABSTRACTED-PUB-NO: US20020137152A

BASIC-ABSTRACT:

NOVELTY - Producing desoxyepothilone comprising fermenting an epothilone producing microorganism in the presence of an inhibitor of an epothilone epoxidase, is new.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for a recombinant *Sorangium cellulosum* host cell which comprises an epoK gene that has been inactivated by mutation that produces epothilone C and/or epothilone D.

ACTIVITY - Antifungal; cytostatic; immunosuppressant. No test details are given in the source material.

MECHANISM OF ACTION - None given.

USE - For producing desoxyepothilone and its derivatives such as epothilone C and/or D (claimed) for use in the fields of agriculture, chemistry, medicinal chemistry, medicine, molecular biology and pharmacology, as antifungal, cancer chemotherapeutics and immunosuppressants.

ADVANTAGE - The method enables to produce desoxyepothilones in a less complex mixture containing lesser amount or none of epoxidated epothilones.

ABSTRACTED-PUB-NO:

WO 200208440A EQUIVALENT-ABSTRACTS:

NOVELTY - Producing desoxyepothilone comprising fermenting an epothilone producing microorganism in the presence of an inhibitor of an epothilone epoxidase, is new.

DETAILED DESCRIPTION - An INDEPENDENT CLAIM is also included for a recombinant *Sorangium cellulosum* host cell which comprises an epoK gene that has been inactivated by mutation that produces epothilone C and/or epothilone D.

ACTIVITY - Antifungal; cytostatic; immunosuppressant. No test details are given in the source material.

MECHANISM OF ACTION - None given.

USE - For producing desoxyepothilone and its derivatives such as epothilone C and/or D (claimed) for use in the fields of agriculture, chemistry, medicinal chemistry, medicine, molecular biology and pharmacology, as antifungal, cancer chemotherapeutics and immunosuppressants.

ADVANTAGE - The method enables to produce desoxyepothilones in a less complex mixture containing lesser amount or none of epoxidated epothilones.

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	FIGS	Drawings
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☐ 71. Document ID: ZA 200007145 A

L4: Entry 71 of 74

File: DWPI

Dec 24, 2001

DERWENT-ACC-NO: 2002-500968

DERWENT-WEEK: 200253

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TITLE: New nucleic acid encoding polypeptide involved in biosynthesis of epothilone, useful for recombinant production of larger quantities of epothilone

INVENTOR: CYR, D; GOERLACH, J ; LIGON, J M ; MOLMAR, I ; SCHUPP, T ; ZIRKLE, R

PRIORITY-DATA: 1998US-0099503 (June 18, 1998)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
ZA 200007145 A	December 24, 2001		084	C07D000/00

INT-CL (IPC): C07 D 0/00; C07 K 0/00; C12 N 0/00

ABSTRACTED-PUB-NO: ZA 200007145A

BASIC-ABSTRACT:

NOVELTY - An isolated nucleic acid molecule (I) comprising a nucleotide sequence that encodes at least one polypeptide involved in the biosynthesis of epothilone, is new.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

- (1) a chimeric gene (II) comprising a heterologous promoter sequence operatively linked to (I);
- (2) a recombinant vector comprising (II);
- (3) a recombinant host cell comprising (II);
- (4) a bacterial artificial clone (BAC) comprising (I);
- (5) an isolated nucleic acid molecule (III) comprising a nucleotide sequence that encodes at least one epothilone synthase domain;
- (6) an isolated nucleic acid molecule (IV) comprising a nucleotide sequence that encodes a non-ribosomal peptide synthetase;
- (7) heterologous expression (M1) of epothilone in a recombinant host comprising introducing (II) into a host and growing the host in conditions that allow biosynthesis of epothilone in the host;
- (8) producing epothilone comprising expressing epothilone by M1 and extracting epothilone from the recombinant host; and
- (9) an isolated polypeptide (V) comprising an amino acid sequence that consists of an epothilone synthase domain.

ACTIVITY - Cytostatic. No supporting data is given in the source material.

MECHANISM OF ACTION - None given in the source material.

USE - Nucleic acids isolated from Sorangium cellulosum encoding polypeptides necessary for the biosynthesis of epothilone are useful for the production of epothilone in recombinant hosts transformed with the genes. Epothilone is useful for the treatment of cancer.

ADVANTAGE - Advantages of the new method include cheaper cost of production, greater quantities of epothilone production and production of compounds with a preferred enantiomer.

Full	Title	Citation	Front	Review	Classification	Date	Reference	Claims	KOMIC	Draw De
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☐ 72. Document ID: WO 200183800 A2, AU 200195195 A, US 20020156110 A1, US 6489314 B1, US 20030045711 A1, US 20030073205 A1, EP 1320611 A2, US 6589968 B2

L4: Entry 72 of 74

File: DWPI

Nov 8, 2001

DERWENT-ACC-NO: 2002-075167

DERWENT-WEEK: 200375

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TITLE: Recombinant host cells useful for producing polyketides e.g. epothilone or its derivatives, comprises a recombinant expression vector encoding a heterologous polyketide synthase gene

INVENTOR: ARSLANIAN, R L; ASHLEY, G ; FRYKMAN, S ; JULIEN, B ; KATZ, L ; KHOSLA, C ; LAU, J ; LICARI, P J ; REGENTIN, R ; SANTI, D ; TANG, L ; CARNEY, J R ; METCALF, B ; CARNEY, J

PRIORITY-DATA: 2001US-0825876 (April 3, 2001), 2000US-0560367 (April 28, 2000), 2000US-232696P (September 14, 2000), 2000US-257517P (December 21, 2000), 2001US-269020P (February 13, 2001), 2001US-0825856 (April 3, 2001), 2002US-0115198 (April 2, 2002)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
<u>WO 200183800 A2</u>	November 8, 2001	E	221	C12P017/00
<u>AU 200195195 A</u>	November 12, 2001		000	C12P017/00
<u>US 20020156110 A1</u>	October 24, 2002		000	C07D417/02
<u>US 6489314 B1</u>	December 3, 2002		000	A61K031/33
<u>US 20030045711 A1</u>	March 6, 2003		000	C07D487/02
<u>US 20030073205 A1</u>	April 17, 2003		000	C12P017/00
<u>EP 1320611 A2</u>	June 25, 2003	E	000	C12N015/52
<u>US 6589968 B2</u>	July 8, 2003		000	C07D277/20

INT-CL (IPC): A61 K 31/33; A61 K 31/426; A61 K 31/427; C07 D 225/02; C07 D 225/04; C07 D 277/20; C07 D 291/00; C07 D 313/00; C07 D 313/20; C07 D 407/02; C07 D 413/06; C07 D 417/02; C07 D 417/06; C07 D 487/02; C07 D 491/00; C07 D 493/04; C07 D 493/06; C12 N 1/21; C12 N 9/00; C12 N 15/52; C12 N 15/74; C12 P 17/00; C12 P 17/02; C12 P 17/16; C12 P 17/18; C12 N 1/21; C12 R 1/01

ABSTRACTED-PUB-NO: WO 200183800A

BASIC-ABSTRACT:

NOVELTY - A recombinant host cell, (I), of the suborder Cystobacterineae comprising a recombinant expression vector encoding a heterologous polyketide synthase (PKS) gene and produces a polyketide synthesized by the PKS enzyme encoded on the vector, is new.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

- (1) an epothilone derivative of formula (II) produced by culturing (I) with a diketide equivalent compound of formula (III);
- (2) purifying (M1) an epothilone from a cell that produces epothilone, comprises culturing the cell in the presence of XAD resin, eluting epothilone from the resin, performing a solid phase extraction of epothilone eluted from the resin, and performing chromatography on epothilone resulting from the solid phase extraction;
- (3) crystalline epothilone D obtained after purification of epothilone from a cell;
- (4) fermentation (M2) of a Myxococcus host cell, comprising culturing the cell in liquid medium comprising a fatty acid or oil as a carbon source; and
- (5) an isolated compound of formula (IV).

R1, R2, R3, R5, R11, and R12 = hydrogen, methyl, or ethyl;

R4, R6 and R9 = hydrogen, hydroxyl, or oxo;

R5 and R6 = together from a carbon carbon double bond;

R7 = hydrogen, methyl, or ethyl;

R8 and R10 = both hydrogen or together from a carbon carbon double bond or an epoxide;

Ar = aryl;

W = O or NR13;

R13 = hydrogen, 1-10C aliphatic, aryl or alkylaryl;

R7a = hydrogen or methyl; and

Ary = aryl selected from formulas of (1)-(26);

R = hydrogen, hydroxy, halogen, amino, 1-5C alkyl, 1-5C hydroxyalkyl, 1-5C alkoxy, and 1-5C aminoalkyl.

ACTIVITY - Cytostatic; antipsoriatic; antiarthritic; antiarteriosclerotic; antiinflammatory; neuroprotective; vasotropic.

MECHANISM OF ACTION - Modulator.

USE - (I) is useful for producing a polyketide. (M1) is also useful for treating cancer, hyperproliferative diseases and conditions such as psoriasis, inflammation, sarcomas, neoplasms, lymphomas, multiple sclerosis, rheumatoid arthritis, atherosclerosis and/or restenosis. It improves polyketide production in any

organism and also for production of products of recombinant PKS genes and modification enzymes.

ADVANTAGE - The host cell produces epothilones or epothilone derivatives that is easier to manipulate and ferment than the natural producer Sorangium cellulosum and that produces more of the desired polyketide product. (I) produces polyketides as high levels and are useful in the production of not only epothilones, including new epothilone derivatives, but also other polyketides.

Full	Title	Citation	Front	Review	Classification	Date	Reference				Claims	KWIC	Draw. De
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☐ 73. Document ID: AU 768220 B, WO 200031247 A2, AU 200017377 A, EP 1135470 A2, US 6303342 B1, KR 2001093103 A, CN 1333820 A, US 6410301 B1, JP 2002530107 W, US 20030096381 A1, US 6583290 B1

L4: Entry 73 of 74

File: DWPI

Dec 4, 2003

DERWENT-ACC-NO: 2000-400061

DERWENT-WEEK: 200382

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TITLE: Novel DNA molecules encoding modified epothilones useful in the treatment of tumors

INVENTOR: JULIEN, B; KATZ, L ; KHOSLA, C ; TANG, L ; ZIERMANN, R

PRIORITY-DATA: 1999US-130560P (April 22, 1999), 1998US-109401P (November 20, 1998), 1999US-119386P (February 10, 1999), 1999US-122620P (March 3, 1999), 1999US-0443501 (November 19, 1999), 2000US-0560367 (April 28, 2000), 2000US-0724882 (November 28, 2000)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
AU 768220 B	December 4, 2003		000	C12N015/00
WO 200031247 A2	June 2, 2000	E	136	C12N015/00
AU 200017377 A	June 13, 2000		000	
EP 1135470 A2	September 26, 2001	E	000	C12N009/00
US 6303342 B1	October 16, 2001		000	C12P019/62
KR 2001093103 A	October 27, 2001		000	C12N015/52
CN 1333820 A	January 30, 2002		000	C12N009/00
US 6410301 B1	June 25, 2002		000	C12N001/20
JP 2002530107 W	September 17, 2002		190	C12N015/09
US 20030096381 A1	May 22, 2003		000	C12P017/16
US 6583290 B1	June 24, 2003		000	C07D277/22

INT-CL (IPC): A61 K 31/365; A61 K 31/427; A61 K 31/529; A61 K 31/537; A61 P 35/00; A61 P 43/00; C07 D 277/22; C07 D 277/28; C07 D 313/00; C07 D 417/06; C07 D 421/00; C07 D 493/04; C07 D 493/08; C07 D 498/08; C07 H 21/04; C12 N 1/15; C12 N 1/19; C12 N 1/20; C12 N 1/21; C12 N 5/10; C12 N 9/00; C12 N 9/10; C12 N 15/00; C12 N 15/09; C12 N 15/52; C12 N 15/74; C12 P 17/06; C12 P 17/16; C12 P 19/62; C12 P 21/02; C12 N 1/21; C12 N 1/21; C12 N 9/00; C12 N 9/00; C12 P 17/16; C12 P 17/16; C12 R 1:38; C12 R 1:38; C12 R 1:38; C12 R 1:465; C12 R 1:465; C12 R 1:465

ABSTRACTED-PUB-NO: US 6303342B

BASIC-ABSTRACT:

NOVELTY - An isolated recombinant nucleic acid (I) comprising a sequence encoding at least a domain of epothilone polyketide synthase (PKS) and/or a functional region of an epothilone modification enzyme.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

- (1) a recombinant DNA vector comprising (I) ;
- (2) producing a polyketide comprising culturing the cells in (2);
- (3) a recombinant Sorangium cellulosum comprising a mutated gene for an epothilone PKS protein or epothilone modification enzyme, the gene being inserted into the genome of the cell following homologous recombination with a vector comprising all or part of the gene;
- (4) recombinant Myxococcus or Streptomyces cells expressing a gene for an epothilone PKS protein or epothilone modification enzyme, optionally comprising one or more epothilone PKS protein or epothilone modification enzyme genes integrated into their chromosomal DNA and/or one or more epothilone modification enzyme genes on an extrachromosomal expression vector;
- (5) producing epothilone or derivative comprising culturing the cells in (5);
- (6) a modified epothilone PKS with a modification comprising:
 - (i) replacement of at least one AT domain with an AT domain of a different specificity;
 - (ii) inactivation of the NRPS-like module 1 or of the KS2 catalytic domain;
 - (iii) inactivation of at least one activity in at least one beta -carbonyl modification domain;
 - (iv) addition of at least one of KR, DH and ER activity in at least one beta -carbonyl modification domain; and
 - (v) replacement of the NRPS module 1 with a NRPS of a different specificity;
- (7) preparing an epothilone derivative comprising providing substrates including extender units to the modified PKS in (7);
- (8) an epothilone PKS modified by inactivation of the NRPS of module 1 or the KS2 of module 2;
- (9) preparing an epothilone derivative comprising contacting (9) with a module 2 or 3 substrate and extender units;
- (10) host cells comprising (I), (6) or (8);
- (11) 16-desmethyl epothilones, 14-methyl epothilones, 11-hydroxyl epothilones, 10-methyl epothilones, 8,9-anhydro epothilones, 9-keto epothilones, 8-desmethyl epothilones and 6-desmethyl epothilones; and
- (12) a recombinant PKS enzyme comprising one or more domains, modules or proteins of a non-epothilone PKS and one or more domains, modules or proteins from a epothilone PKS and/or a loading domain comprising a KSQ domain.

USE - The recombinant DNA molecules are useful in the synthesis of the epothilones A, B, C and D. These compounds are useful in the treatment of certain cancers.
ABSTRACTED-PUB-NO:

US 6410301B EQUIVALENT-ABSTRACTS:

NOVELTY - An isolated recombinant nucleic acid (I) comprising a sequence encoding at least a domain of epothilone polyketide synthase (PKS) and/or a functional region of an epothilone modification enzyme.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

- (1) a recombinant DNA vector comprising (I) ;
- (2) producing a polyketide comprising culturing the cells in (2);
- (3) a recombinant Sorangium cellulosum comprising a mutated gene for an epothilone PKS protein or epothilone modification enzyme, the gene being inserted into the genome of the cell following homologous recombination with a vector comprising all or part of the gene;
- (4) recombinant Myxococcus or Streptomyces cells expressing a gene for an epothilone PKS protein or epothilone modification enzyme, optionally comprising one or more epothilone PKS protein or epothilone modification enzyme genes integrated into their chromosomal DNA and/or one or more epothilone modification enzyme genes on an extrachromosomal expression vector;
- (5) producing epothilone or derivative comprising culturing the cells in (5);
- (6) a modified epothilone PKS with a modification comprising:
 - (i) replacement of at least one AT domain with an AT domain of a different specificity;
 - (ii) inactivation of the NRPS-like module 1 or of the KS2 catalytic domain;
 - (iii) inactivation of at least one activity in at least one beta -carbonyl modification domain;
 - (iv) addition of at least one of KR, DH and ER activity in at least one beta -carbonyl modification domain; and
 - (v) replacement of the NRPS module 1 with a NRPS of a different specificity;
- (7) preparing an epothilone derivative comprising providing substrates including extender units to the modified PKS in (7);
- (8) an epothilone PKS modified by inactivation of the NRPS of module 1 or the KS2 of module 2;
- (9) preparing an epothilone derivative comprising contacting (9) with a module 2 or 3 substrate and extender units;
- (10) host cells comprising (I), (6) or (8);
- (11) 16-desmethyl epothilones, 14-methyl epothilones, 11-hydroxyl epothilones, 10-methyl epothilones, 8,9-anhydro epothilones, 9-keto epothilones, 8-desmethyl epothilones and 6-desmethyl epothilones; and
- (12) a recombinant PKS enzyme comprising one or more domains, modules or proteins

of a non-epothilone PKS and one or more domains, modules or proteins from a epothilone PKS and/or a loading domain comprising a KSQ domain.

USE - The recombinant DNA molecules are useful in the synthesis of the epothilones A, B, C and D. These compounds are useful in the treatment of certain cancers.

NOVELTY - An isolated recombinant nucleic acid (I) comprising a sequence encoding at least a domain of epothilone polyketide synthase (PKS) and/or a functional region of an epothilone modification enzyme.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are also included for the following:

- (1) a recombinant DNA vector comprising (I) ;
- (2) producing a polyketide comprising culturing the cells in (2);
- (3) a recombinant Sorangium cellulosum comprising a mutated gene for an epothilone PKS protein or epothilone modification enzyme, the gene being inserted into the genome of the cell following homologous recombination with a vector comprising all or part of the gene;
- (4) recombinant Myxococcus or Streptomyces cells expressing a gene for an epothilone PKS protein or epothilone modification enzyme, optionally comprising one or more epothilone PKS protein or epothilone modification enzyme genes integrated into their chromosomal DNA and/or one or more epothilone modification enzyme genes on an extrachromosomal expression vector;
- (5) producing epothilone or derivative comprising culturing the cells in (5);
- (6) a modified epothilone PKS with a modification comprising:
 - (i) replacement of at least one AT domain with an AT domain of a different specificity;
 - (ii) inactivation of the NRPS-like module 1 or of the KS2 catalytic domain;
 - (iii) inactivation of at least one activity in at least one beta -carbonyl modification domain;
 - (iv) addition of at least one of KR, DH and ER activity in at least one beta -carbonyl modification domain; and
 - (v) replacement of the NRPS module 1 with a NRPS of a different specificity;
- (7) preparing an epothilone derivative comprising providing substrates including extender units to the modified PKS in (7);
- (8) an epothilone PKS modified by inactivation of the NRPS of module 1 or the KS2 of module 2;
- (9) preparing an epothilone derivative comprising contacting (9) with a module 2 or 3 substrate and extender units;
- (10) host cells comprising (I), (6) or (8);
- (11) 16-desmethyl epothilones, 14-methyl epothilones, 11-hydroxyl epothilones, 10-methyl epothilones, 8,9-anhydro epothilones, 9-keto epothilones, 8-desmethyl epothilones and 6-desmethyl epothilones; and
- (12) a recombinant PKS enzyme comprising one or more domains, modules or proteins

of a non-epothilone PKS and one or more domains, modules or proteins from a epothilone PKS and/or a loading domain comprising a KSQ domain.

USE - The recombinant DNA molecules are useful in the synthesis of the epothilones A, B, C and D. These compounds are useful in the treatment of certain cancers.

WO 200031247A

Full	Title	Citation	Front	Review	Classification	Date	Reference	Abstract	Claims	KWIC	Draw D
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☐ 74. Document ID: NZ 508326 A, WO 9966028 A2, AU 9946116 A, US 6121029 A, CZ 200004693 A3, BR 9911349 A, EP 1088078 A2, NO 200006195 A, SK 200001924 A3, CN 1305530 A, KR 2001052962 A, HU 200102186 A2, US 6346404 B1, US 6355457 B1, US 6355458 B1, US 6355459 B1, US 6358719 B1, MX 2000012342 A1, US 6383787 B1, JP 2002518004 W, AU 753567 B, US 20020192778 A1

L4: Entry 74 of 74

File: DWPI

Oct 31, 2003

DERWENT-ACC-NO: 2000-097741

DERWENT-WEEK: 200380

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TITLE: New isolated epothilone synthase genes, used for the recombinant production of epothilone for use in cancer therapy

INVENTOR: CYR, D; GOERLACH, J ; LIGON, J M ; MOLNAR, I ; SCHUPP, T ; ZIRKLE, R ; GORLACH, J ; CYR, D D

PRIORITY-DATA: 1999US-118906P (February 5, 1999), 1998US-0099504 (June 18, 1998), 1998US-101631P (September 24, 1998), 1998US-155183P (June 18, 1998), 1999US-0335409 (June 17, 1999), 2000US-0568102 (May 10, 2000), 2000US-0567969 (May 10, 2000), 2000US-0568480 (May 10, 2000), 2000US-0568486 (May 10, 2000), 2000US-0568472 (May 10, 2000), 2000US-0567899 (May 10, 2000), 2001US-0014717 (November 13, 2001)

PATENT-FAMILY:

PUB-NO	PUB-DATE	LANGUAGE	PAGES	MAIN-IPC
<u>NZ 508326 A</u>	October 31, 2003		000	C07K014/145
<u>WO 9966028 A2</u>	December 23, 1999	E	173	C12N009/00
<u>AU 9946116 A</u>	January 5, 2000		000	C12N009/00
<u>US 6121029 A</u>	September 19, 2000		000	C12N009/00
<u>CZ 200004693 A3</u>	March 14, 2001		000	C12N009/00
<u>BR 9911349 A</u>	March 13, 2001		000	C12N009/00
<u>EP 1088078 A2</u>	April 4, 2001	E	000	C12N015/52
<u>NO 200006195 A</u>	February 16, 2001		000	C12N000/00
<u>SK 200001924 A3</u>	July 10, 2001		000	C12N015/52
<u>CN 1305530 A</u>	July 25, 2001		000	C12N015/52
<u>KR 2001052962 A</u>	June 25, 2001		000	C12N015/52
<u>HU 200102186 A2</u>	October 29, 2001		000	C12N015/52
<u>US 6346404 B1</u>	February 12, 2002		000	C12N009/00
<u>US 6355457 B1</u>	March 12, 2002		000	C12N009/00
<u>US 6355458 B1</u>	March 12, 2002		000	C12N009/00

<u>US 6355459 B1</u>	March 12, 2002	000	C12N009/00
<u>US 6358719 B1</u>	March 19, 2002	000	C12N009/02
<u>MX 2000012342 A1</u>	June 1, 2001	000	C12N009/00
<u>US 6383787 B1</u>	May 7, 2002	000	C12N009/00
<u>JP 2002518004 W</u>	June 25, 2002	200	C12N015/09
<u>AU 753567 B</u>	October 24, 2002	000	C12N009/00
<u>US 20020192778 A1</u>	December 19, 2002	000	C12P021/02

6355459 B1 , US 6358719 B1 INT-CL (IPC): A61 P 35/00; C07 D 493/00; C07 H 21/04; C07 K 14/145; C07 K 14/535; C07 K 17/00; C12 N 0/00; C12 N 1/20; C12 N 1/21; C12 N 9/00; C12 N 9/02; C12 N 9/10; C12 N 15/09; C12 N 15/52; C12 N 15/74; C12 P 7/00; C12 P 17/18; C12 P 21/02; C12 N 1/21; C12 N 15/09; C12 R 1:01; C12 R 1:465

ABSTRACTED-PUB-NO: US 6121029A

BASIC-ABSTRACT:

NOVELTY - Isolated epothilone synthase genes from Sorangium cellulosum are new.

DETAILED DESCRIPTION - (A) A novel isolated nucleic acid molecule (NAM) comprises a nucleotide sequence (NS) that encodes at least one polypeptide involved in the biosynthesis of epothilone.

INDEPENDENT CLAIMS are also included for the following:

- (1) a chimeric gene comprising a heterologous promoter sequence operatively linked to a NAM as in (A);
- (2) a recombinant vector comprising a chimeric gene as in (1);
- (3) a recombinant host cell comprising a chimeric gene as in (1);
- (4) a Bac clone comprising a NAM as in (A);
- (5) an isolated NAM comprising a NS that encodes at least one epothilone synthase domain;
- (6) an isolated NAM comprising a NS that encodes a non-ribosomal peptide synthetase which comprises an amino acid sequence similar to an amino acid sequence selected from amino acids 72-81, 118-125, 199-212, 353-363, 549-565, 588-603, 669-684, 815-821, 868-892, 903-912, 918-940, 1268-1274, 1285-1297, 973-1256 and 1344-1351 of sequence (I) of 1410 amino acids (given in the specification), and
- (7) an isolated polypeptide comprising an amino acid sequence that consists of an epothilone synthase domain.

ACTIVITY - Cytostatic.

USE - The NAMs can be used for the production of epothilones which can be used for the treatment of cancer. Because epothilones mimic the biological effects of taxol, epothilones may be substituted for taxol in compositions and methods utilizing taxol in the treatment of cancer.

ADVANTAGE - None given.

ABSTRACTED-PUB-NO:

US 6346404B EQUIVALENT-ABSTRACTS:

NOVELTY - Isolated epothilone synthase genes from Sorangium cellulosum are new.

DETAILED DESCRIPTION - (A) A novel isolated nucleic acid molecule (NAM) comprises a nucleotide sequence (NS) that encodes at least one polypeptide involved in the biosynthesis of epothilone.

INDEPENDENT CLAIMS are also included for the following:

- (1) a chimeric gene comprising a heterologous promoter sequence operatively linked to a NAM as in (A);
- (2) a recombinant vector comprising a chimeric gene as in (1);
- (3) a recombinant host cell comprising a chimeric gene as in (1);
- (4) a Bac clone comprising a NAM as in (A);
- (5) an isolated NAM comprising a NS that encodes at least one epothilone synthase domain;
- (6) an isolated NAM comprising a NS that encodes a non-ribosomal peptide synthetase which comprises an amino acid sequence similar to an amino acid sequence selected from amino acids 72-81, 118-125, 199-212, 353-363, 549-565, 588-603, 669-684, 815-821, 868-892, 903-912, 918-940, 1268-1274, 1285-1297, 973-1256 and 1344-1351 of sequence (I) of 1410 amino acids (given in the specification), and
- (7) an isolated polypeptide comprising an amino acid sequence that consists of an epothilone synthase domain.

ACTIVITY - Cytostatic.

USE - The NAMs can be used for the production of epothilones which can be used for the treatment of cancer. Because epothilones mimic the biological effects of taxol, epothilones may be substituted for taxol in compositions and methods utilizing taxol in the treatment of cancer.

ADVANTAGE - None given.

NOVELTY - Isolated epothilone synthase genes from Sorangium cellulosum are new.

DETAILED DESCRIPTION - (A) A novel isolated nucleic acid molecule (NAM) comprises a nucleotide sequence (NS) that encodes at least one polypeptide involved in the biosynthesis of epothilone.

INDEPENDENT CLAIMS are also included for the following:

- (1) a chimeric gene comprising a heterologous promoter sequence operatively linked to a NAM as in (A);
- (2) a recombinant vector comprising a chimeric gene as in (1);
- (3) a recombinant host cell comprising a chimeric gene as in (1);
- (4) a Bac clone comprising a NAM as in (A);
- (5) an isolated NAM comprising a NS that encodes at least one epothilone synthase domain;
- (6) an isolated NAM comprising a NS that encodes a non-ribosomal peptide synthetase which comprises an amino acid sequence similar to an amino acid sequence selected from amino acids 72-81, 118-125, 199-212, 353-363, 549-565, 588-603, 669-684, 815-

821, 868-892, 903-912, 918-940, 1268-1274, 1285-1297, 973-1256 and 1344-1351 of sequence (I) of 1410 amino acids (given in the specification), and

(7) an isolated polypeptide comprising an amino acid sequence that consists of an epothilone synthase domain.

ACTIVITY - Cytostatic.

USE - The NAMs can be used for the production of epothilones which can be used for the treatment of cancer. Because epothilones mimic the biological effects of taxol, epothilones may be substituted for taxol in compositions and methods utilizing taxol in the treatment of cancer.

ADVANTAGE - None given.

US 6355457B

NOVELTY - Isolated epothilone synthase genes from Sorangium cellulosum are new.

DETAILED DESCRIPTION - (A) A novel isolated nucleic acid molecule (NAM) comprises a nucleotide sequence (NS) that encodes at least one polypeptide involved in the biosynthesis of epothilone.

INDEPENDENT CLAIMS are also included for the following:

- (1) a chimeric gene comprising a heterologous promoter sequence operatively linked to a NAM as in (A);
- (2) a recombinant vector comprising a chimeric gene as in (1);
- (3) a recombinant host cell comprising a chimeric gene as in (1);
- (4) a Bac clone comprising a NAM as in (A);
- (5) an isolated NAM comprising a NS that encodes at least one epothilone synthase domain;
- (6) an isolated NAM comprising a NS that encodes a non-ribosomal peptide synthetase which comprises an amino acid sequence similar to an amino acid sequence selected from amino acids 72-81, 118-125, 199-212, 353-363, 549-565, 588-603, 669-684, 815-821, 868-892, 903-912, 918-940, 1268-1274, 1285-1297, 973-1256 and 1344-1351 of sequence (I) of 1410 amino acids (given in the specification), and
- (7) an isolated polypeptide comprising an amino acid sequence that consists of an epothilone synthase domain.

ACTIVITY - Cytostatic.

USE - The NAMs can be used for the production of epothilones which can be used for the treatment of cancer. Because epothilones mimic the biological effects of taxol, epothilones may be substituted for taxol in compositions and methods utilizing taxol in the treatment of cancer.

ADVANTAGE - None given.

US 6355458B

NOVELTY - Isolated epothilone synthase genes from Sorangium cellulosum are new.

DETAILED DESCRIPTION - (A) A novel isolated nucleic acid molecule (NAM) comprises a

nucleotide sequence (NS) that encodes at least one polypeptide involved in the biosynthesis of epothilone.

INDEPENDENT CLAIMS are also included for the following:

- (1) a chimeric gene comprising a heterologous promoter sequence operatively linked to a NAM as in (A);
- (2) a recombinant vector comprising a chimeric gene as in (1);
- (3) a recombinant host cell comprising a chimeric gene as in (1);
- (4) a Bac clone comprising a NAM as in (A);
- (5) an isolated NAM comprising a NS that encodes at least one epothilone synthase domain;
- (6) an isolated NAM comprising a NS that encodes a non-ribosomal peptide synthetase which comprises an amino acid sequence similar to an amino acid sequence selected from amino acids 72-81, 118-125, 199-212, 353-363, 549-565, 588-603, 669-684, 815-821, 868-892, 903-912, 918-940, 1268-1274, 1285-1297, 973-1256 and 1344-1351 of sequence (I) of 1410 amino acids (given in the specification), and
- (7) an isolated polypeptide comprising an amino acid sequence that consists of an epothilone synthase domain.

ACTIVITY - Cytostatic.

USE - The NAMs can be used for the production of epothilones which can be used for the treatment of cancer. Because epothilones mimic the biological effects of taxol, epothilones may be substituted for taxol in compositions and methods utilizing taxol in the treatment of cancer.

ADVANTAGE - None given.

US 6355459B

NOVELTY - Isolated epothilone synthase genes from Sorangium cellulosum are new.

DETAILED DESCRIPTION - (A) A novel isolated nucleic acid molecule (NAM) comprises a nucleotide sequence (NS) that encodes at least one polypeptide involved in the biosynthesis of epothilone.

INDEPENDENT CLAIMS are also included for the following:

- (1) a chimeric gene comprising a heterologous promoter sequence operatively linked to a NAM as in (A);
- (2) a recombinant vector comprising a chimeric gene as in (1);
- (3) a recombinant host cell comprising a chimeric gene as in (1);
- (4) a Bac clone comprising a NAM as in (A);
- (5) an isolated NAM comprising a NS that encodes at least one epothilone synthase domain;
- (6) an isolated NAM comprising a NS that encodes a non-ribosomal peptide synthetase which comprises an amino acid sequence similar to an amino acid sequence selected from amino acids 72-81, 118-125, 199-212, 353-363, 549-565, 588-603, 669-684, 815-

821, 868-892, 903-912, 918-940, 1268-1274, 1285-1297, 973-1256 and 1344-1351 of sequence (I) of 1410 amino acids (given in the specification), and

(7) an isolated polypeptide comprising an amino acid sequence that consists of an epothilone synthase domain.

ACTIVITY - Cytostatic.

USE - The NAMs can be used for the production of epothilones which can be used for the treatment of cancer. Because epothilones mimic the biological effects of taxol, epothilones may be substituted for taxol in compositions and methods utilizing taxol in the treatment of cancer.

ADVANTAGE - None given.

US 6358719B

NOVELTY - Isolated epothilone synthase genes from Sorangium cellulosum are new.

DETAILED DESCRIPTION - (A) A novel isolated nucleic acid molecule (NAM) comprises a nucleotide sequence (NS) that encodes at least one polypeptide involved in the biosynthesis of epothilone.

INDEPENDENT CLAIMS are also included for the following:

(1) a chimeric gene comprising a heterologous promoter sequence operatively linked to a NAM as in (A);

(2) a recombinant vector comprising a chimeric gene as in (1);

(3) a recombinant host cell comprising a chimeric gene as in (1);

(4) a Bac clone comprising a NAM as in (A);

(5) an isolated NAM comprising a NS that encodes at least one epothilone synthase domain;

(6) an isolated NAM comprising a NS that encodes a non-ribosomal peptide synthetase which comprises an amino acid sequence similar to an amino acid sequence selected from amino acids 72-81, 118-125, 199-212, 353-363, 549-565, 588-603, 669-684, 815-821, 868-892, 903-912, 918-940, 1268-1274, 1285-1297, 973-1256 and 1344-1351 of sequence (I) of 1410 amino acids (given in the specification), and

(7) an isolated polypeptide comprising an amino acid sequence that consists of an epothilone synthase domain.

ACTIVITY - Cytostatic.

USE - The NAMs can be used for the production of epothilones which can be used for the treatment of cancer. Because epothilones mimic the biological effects of taxol, epothilones may be substituted for taxol in compositions and methods utilizing taxol in the treatment of cancer.

ADVANTAGE - None given.

US 6383787B

NOVELTY - Isolated epothilone synthase genes from Sorangium cellulosum are new.

DETAILED DESCRIPTION - (A) A novel isolated nucleic acid molecule (NAM) comprises a

nucleotide sequence (NS) that encodes at least one polypeptide involved in the biosynthesis of epothilone.

INDEPENDENT CLAIMS are also included for the following:

- (1) a chimeric gene comprising a heterologous promoter sequence operatively linked to a NAM as in (A);
- (2) a recombinant vector comprising a chimeric gene as in (1);
- (3) a recombinant host cell comprising a chimeric gene as in (1);
- (4) a Bac clone comprising a NAM as in (A);
- (5) an isolated NAM comprising a NS that encodes at least one epothilone synthase domain;
- (6) an isolated NAM comprising a NS that encodes a non-ribosomal peptide synthetase which comprises an amino acid sequence similar to an amino acid sequence selected from amino acids 72-81, 118-125, 199-212, 353-363, 549-565, 588-603, 669-684, 815-821, 868-892, 903-912, 918-940, 1268-1274, 1285-1297, 973-1256 and 1344-1351 of sequence (I) of 1410 amino acids (given in the specification), and
- (7) an isolated polypeptide comprising an amino acid sequence that consists of an epothilone synthase domain.

ACTIVITY - Cytostatic.

USE - The NAMs can be used for the production of epothilones which can be used for the treatment of cancer. Because epothilones mimic the biological effects of taxol, epothilones may be substituted for taxol in compositions and methods utilizing taxol in the treatment of cancer.

ADVANTAGE - None given.

WO 9966028A

Full	Title	Citation	Front	Review	Classification	Date	Reference			Claims	RWIC	Draw D
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Terms	Documents
L3 and (gene or dna or cdna or nucleic acid)	74

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